(FILE 'HOME' ENTERED AT 16:09:52 ON 01 NOV 2006)

FILE 'REGISTRY' ENTERED AT 16:09:58 ON 01 NOV 2006 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 6 S L1 SSS FULL

L4 STRUCTURE UPLOADED

L5 0 S L4 SSS SAM

L6 0 S L4 SSS FULL .

FILE 'CAPLUS' ENTERED AT 16:11:25 ON 01 NOV 2006

L7 6 S L3

L1

L9

L8 2 S L7 AND (FUSOGEN? OR MEMBRANE OR (DRUG(W)DELIVERY) OR PHARMACO

INDEX 'ADISCTI, ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, ANTE, AQUALINE, AQUASCI, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CAPLUS, CEABA-VTB, CIN, CONFSCI, CROPB, CROPU, DDFB, DDFU, DGENE, DISSABS, DRUGB, DRUGMONOG2, DRUGU, EMBAL, EMBASE, ...' ENTERED AT 17:20:43 ON 01 NOV 2006 SEA (CLUSTER(W)GLYCOSIDE) AND GALACTOSAMINE

2 FILE CAPLUS

1 FILE ESBIOBASE

6 FILE GENBANK

1 FILE SCISEARCH

5 FILE USPATFULL

2 FILE USPAT2

QUE (CLUSTER(W) GLYCOSIDE) AND GALACTOSAMINE

FILE 'USPATFULL' ENTERED AT 17:21:37 ON 01 NOV 2006 L10 5 S (CLUSTER(W)GLYCOSIDE) AND GALACTOSAMINE

INDEX 'ADISCTI, ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, ANTE, AQUALINE, AQUASCI, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CAPLUS, CEABA-VTB, CIN, CONFSCI, CROPB, CROPU, DDFB, DDFU, DGENE, DISSABS, DRUGB, DRUGMONOG2, DRUGU, EMBAL, EMBASE, ...' ENTERED AT 17:22:41 ON 01 NOV 2006 SEA (CLUSTER(W)GLYCOSIDE) AND (N-ACETYLGALACTOSAMINE)

4 FILE BIOSIS

1 FILE BIOTECHNO

7 FILE CAPLUS

1 FILE DDFU

1 FILE DRUGU

4 FILE EMBASE

4 FILE ESBIOBASE

3 FILE GENBANK

4 FILE MEDLINE

l FILE PASCAL

7 FILE SCISEARCH

1 FILE USPATFULL

1 FILE USPAT2

L11 QUE (CLUSTER(W) GLYCOSIDE) AND (N-ACETYLGALACTOSAMINE)

FILE 'BIOSIS, CAPLUS, EMBASE, MEDLINE' ENTERED AT 17:23:49 ON 01 NOV 2006
L12 19 S (CLUSTER(W)GLYCOSIDE) AND (N-ACETYLGALACTOSAMINE)

L13 7 DUP REM L12 (12 DUPLICATES REMOVED)

= >

=> file registry
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 16:09:58 ON 01 NOV 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 OCT 2006 HIGHEST RN 911785-87-0 DICTIONARY FILE UPDATES: 31 OCT 2006 HIGHEST RN 911785-87-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10780447c.str

chain nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37
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1-2 1-34 2-3 3-4 4-5 4-6 6-7 7-8 8-9 9-10 10-11 12-13 12-36 13-14
14-15
15-16 15-17 17-18 18-19 19-20 20-21 21-22 23-24 23-37 24-25 25-26 26-27
26-28 28-29
29-30 30-31 31-32 32-33 34-35 35-36 35-37
exact/norm bonds :
4-5 4-6 9-10 10-11 15-16 15-17 20-21 21-22 26-27 26-28 31-32 32-33
exact bonds :
1-2 1-34 2-3 3-4 6-7 7-8 8-9 12-13 12-36 13-14 14-15 17-18 18-19 19-20
23-24 23-37 24-25 25-26 28-29 29-30 30-31 34-35 35-36 35-37

G1:H

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT * Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 16:10:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 833 TO ITERATE

100.0% PROCESSED 833 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 14929 TO 18391

PROJECTED ANSWERS: 0 TO 0

L2 . 0 SEA SSS SAM L1

=> s l1 sss full FULL SEARCH INITIATED 16:10:24 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 16685 TO ITERATE

100.0% PROCESSED 16685 ITERATIONS 6 ANSWERS SEARCH TIME: 00.00.01

L3 6 SEA SSS FUL L1

=> d 13 scan

L3 6 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN 9,13-Dioxa-2,5,17,20-tetraazaheneicosanedioic acid, 11-(12,12-dimethyl5,10-dioxo-2,11-dioxa-6,9-diazatridec-1-yl)-6,16-dioxo-11[[(phenylmethoxy)carbonyl]amino]-, bis(1,1-dimethylethyl) ester (9CI)

MF C42 H71 N7 O14

PAGE 1-A

PAGE 1-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L3 6 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

Pyrazinepropanamide, N,N'-[9-[[3-[[2-[[3-[3,4-dihydro-5,6-dimethyl-3-oxo-4-(phenylmethoxy)pyrazinyl]-1-oxopropyl]amino]ethyl]amino]-3-oxopropoxy]methyl]-9-methyl-4,14-dioxo-7,11-dioxa-3,15-diazaheptadecane-1,17-diyl]bis[3,4-dihydro-5,6-dimethyl-3-oxo-4-(phenylmethoxy)- (9CI)
MF C68 H90 N12 O15

$$C = 0$$
 CH_2
 CH_2
 CH_2
 $O = CH_2 - Ph$
 $CH_2 - Ph$

PAGE 2-B

PAGE 1-C

L3 6 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN Pyrazinepropanamide, N,N'-[9-[[3-[[2-[[3-(3,4-dihydro-4-hydroxy-5,6-dimethyl-3-oxopyrazinyl)-1-oxopropyl]amino]ethyl]amino]-3-oxopropoxy]methyl]-9-methyl-4,14-dioxo-7,11-dioxa-3,15-diazaheptadecane-1,17-diyl]bis[3,4-dihydro-4-hydroxy-5,6-dimethyl-3-oxo-(9CI)

PAGE 1-A

CH₂

O

NH

MF C47 H72 N12 O15

HO N CH2-CH2-CH2-CH2-NH-C-CH2-CH2-O-CH2-C-

CH₂
|
CH₂
|
C |
|
C |
|
CH₂
|
|
CH₂
|
CH₂

PAGE 1-B

 $- CH_{2} - O - CH_{2} - CH_{$

PAGE 2-B

____о ___он

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 6 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3',3'''-[14,14-[[3-[2-[(3-carboxy-1-oxopropyl)amino]ethyl]amino]-3-oxopropoxy]methyl]4,9,19,24-tetraoxo-12,16-dioxa-5,8,20,23-tetraazaheptacosanedioate],
3',3'''-diester with 5'-O-[bis(4-methoxyphenyl)phenylmethyl]thymidine
(9CI)

MF C165 H188 N16 O44

Absolute stereochemistry.

PAGE 1-B

___ Me

MeO____

Мe

PAGE 2-C

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 6 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

Thymidine, 3',3'''-[14,14-[[3-[[2-[(3-carboxy-1oxopropyl)amino]ethyl]amino]-3-oxopropoxy]methyl]-4,9,19,24-tetraoxo-12,16dioxa-5,8,20,23-tetraazaheptacosanedioate], 3',3'''-diester with thymidine
(9CI)

MF C81 H116 N16 O36

Absolute stereochemistry.

<u>__0</u>

PAGE 2-B

ОН

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 6 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN [1,1'-Binaphthalene]-3-carboxamide, N,N'-[9,9-bis[[3-[[2-[[[(1S)-2,2'-dimethoxy[1,1'-binaphthalen]-3-yl]carbonyl]amino]ethyl]amino]-3oxopropoxy]methyl]-4,14-dioxo-7,11-dioxa-3,15-diazaheptadecane-1,17-diyl]bis[2,2'-dimethoxy-, (1S,1''S)- (9CI)

MF C117 H116 N8 O20

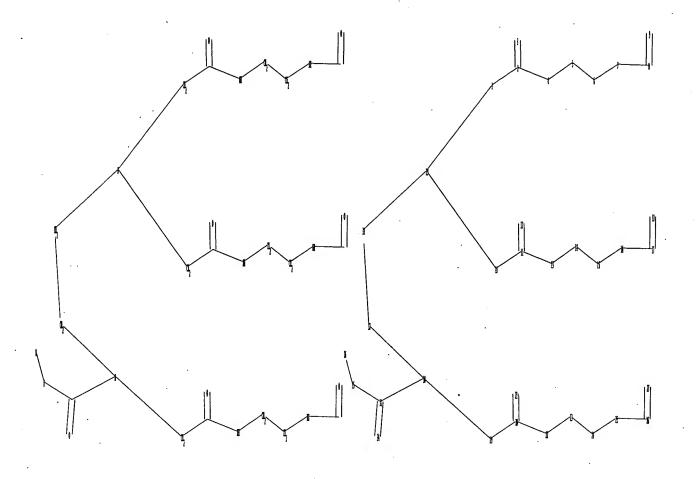
PAGÉ 1-B

PAGE 2-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

Uploading C:\Program Files\Stnexp\Queries\10780447d.str



chain nodes:

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 29 30 31 32 33 34 35 36
chain bonds:

1-2 1-29 2-3 2-4 4-5 5-6 6-7 7-8 8-9 10-11 10-29 11-12 11-13 13-14
14-15 15-16 16-17 17-18 19-20 19-30 20-21 20-22 22-23 23-24 24-25 25-26
26-27 29-33 30-31
30-32 31-34 31-35 32-33 35-36
exact/norm bonds:
2-3 2-4 7-8 8-9 11-12 11-13 16-17 17-18 20-21 20-22 25-26 26-27 30-31
31-34 31-35
exact bonds:
1-2 1-29 4-5 5-6 6-7 10-11 10-29 13-14 14-15 15-16 19-20 19-30 22-23
23-24 24-25 29-33 30-32 32-33 35-36

G1:H

Match level : 1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 29:CLASS 30:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS

=> d 14

L4 HAS NO ANSWERS

STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 14 sss sam

SAMPLE SEARCH INITIATED 16:11:12 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -33 TO ITERATE

100.0% PROCESSED

33 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH

COMPLETE

PROJECTED ITERATIONS:

316 TO

1004

PROJECTED ANSWERS:

0 TO

1.6

0 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 16:11:16 FILE 'REGISTRY' 656 TO ITERATE

FULL SCREEN SEARCH COMPLETED -

0 ANSWERS

100.0% PROCESSED

SEARCH TIME: 00.00.01

=> file caplus COST IN U.S. DOLLARS

SINCE FILE

333.88

TOTAL

334.09

ENTRY SESSION

FULL ESTIMATED COST FILE 'CAPLUS' ENTERED AT 16:11:25 ON 01 NOV 2006

0 SEA SSS FUL L4

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656 ITERATIONS

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FILE COVERS 1907 - 1 Nov 2006 VOL 145 ISS 19 FILE LAST UPDATED: 31 Oct 2006 (20061031/ED)

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http://www.cas.org/infopolicy.html

=> s 13

L7

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=> s 17 and (fusogen? or membrane or (drug(w)delivery) or pharmacokinetic or
 transfec? or endocytosis)
           1620 FUSOGEN?
         722797 MEMBRANE
         681675 DRUG
         246562 DELIVERY
         174558 DRUG(W) DELIVERY
          47570 PHARMACOKINETIC
          97813 TRANSFEC?
          16622 ENDOCYTOSIS
L8
              2 L7 AND (FUSOGEN? OR MEMBRANE OR (DRUG(W)DELIVERY) OR PHARMACOKIN
              . ETIC OR TRANSFEC? OR ENDOCYTOSIS)
 => d l8 1-2 ti abs bib
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
L8
TI
     Dendrimers as molecular translocators
     Transport mols. include a dendrimer and a biol. active mol. The dendrimer
     of such transport mols. includes at least one guanidine group, at least
     one protonated guanidine group, at least one protected guanidine group, at
     least one amidine group, at least one protonated amidine group, at least
     one protected amidine group, at least one ureido group, at least one
     protonated ureido group, at least one protected ureido group, at least one
     thiorueido group, at least one protonated thioureido group, or at least
     one protected thioureido group. The biol. active mol. is bonded to the
     dendrimer. A method of increasing the bioavailability of a drug includes
     bonding the drug to a dendrimer of the invention.
     2004:80754 CAPLUS <<LOGINID::20061101>>
ΑN
DN
     140:146993
     Dendrimers as molecular translocators
TI
     Goodman, Murray; Seong, Churl Min; Harms, Guido; Min, Changhee; Choi,
     Byung Hyune; Chung, Hyun-ho
     The Regents of the University of California, USA; Lg Life Sciences
     PCT Int. Appl., 192 pp.
     CODEN: PIXXD2
DΤ
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
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     WO 2004009666
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                                20040129
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PRAI US 2002-397319P
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                                20020719
     WO 2003-US22772
                          W
                                20030718
L8
     ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
TI
     Dendrimers as molecular translocators
     Transport mols. include a dendrimer and a biol. active mol. The dendrimer
     of such transport mols. includes at least one guanidine group, at least
    one protonated guanidine group, at least one protected guanidine group, at
```

least one amidine group, at least one protonated amidine group, at least one protected amidine group, at least one ureido group, at least one

protonated ureido group, at least one protected ureido group, at least one thioureido group, at least one protonated thioureido group, or at least one protected thioureido group. The biol. active mol. is bonded to the dendrimer. A method of increasing the bioavailability of a drug includes bonding the drug to a dendrimer of the invention.

```
AN 2004:80753 CAPLUS <<LOGINID::20061101>>
```

- DN 140:146992
- TI Dendrimers as molecular translocators
- IN Goodman, Murray; Seong, Churl Min; Harms, Guido
- PA The Regents of the University of California, USA
- SO PCT Int. Appl., 208 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 2

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    WO 2003-US22771
                         W
                               20030718
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=> d.17 1-6 ti

- L7 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Dendrimers as molecular translocators
- L7 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Dendrimers as molecular translocators
- L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Dendrimers with inherently axially chiral units
- L7 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Solution phase biopolymer synthesis of oligodeoxyribonucleotides using multifunctional liquid phase carriers
- L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Synthesis of new liquid phase carriers for use in large scale oligodeoxyribonucleotide synthesis in solution
- L7 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- N-hydroxyamide-containing heterocycles. Part 5. Synthesis of novel hexadentate ligands composed of N-hydroxy-2(1H)-pyrazinone, aliphatic diamine, and 1,1,1-tris(carboxyethoxymethyl)ethane, and properties of their ferric complexes

- L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Dendrimers with inherently axially chiral units
- AB We have designed and successfully synthesized dendrimers with axially chiral units in the interior structure. Starting from chiral 2,2'-dimethoxy-1,1'-binaphthalene building blocks and from the four-directional initiator cores the dendritic homochiral and heterochiral oligomers 9-16 were prepared Using the $[\phi]D$ and Δ .vepsiln. values of monomers 2 and 4, we calculated $[\phi]D$ and Δ .vepsiln. values for dendrons 11, 13, and dendrimers 9, 10, 15 and 16. Although the observed molar optical rotation $[\phi]D$ of the dendrimers agrees relatively well with the calculated values, the CD measurements of all the dendrimers in THF and CH2Cl2, except that of heterochiral dendrimer 16 in THF, were significantly different from the calculated values. The intensive hypochromism of the dendrimers (between 37-59% in THF) and the agreement between the calculated and observed Δ .vepsiln. values of the dendrons (between 14 and 6% in THF) led to the assumption that the hypochromic effect is caused by intramol. interactions. From the NMR measurements it was proved that in the homochiral dendrimer, the N-H groups of the amides can form intramol. hydrogen bonds that in CHCl3, with the help of the axially chiral moieties, cause a different conformation of the mol. than in the diastereomeric dendrimer.
- AN 2000:246986 CAPLUS <<LOGINID::20061101>>
- DN 133:105420
- TI Dendrimers with inherently axially chiral units
- AU Lellek, Vit; Stibor, Ivan
- CS Department of Organic Chemistry, University of Zurich, Zurich, CH-8057, Switz.
- SO Journal of Materials Chemistry (2000), 10(5), 1061-1073 CODEN: JMACEP; ISSN: 0959-9428
- PB Royal Society of Chemistry
- DT Journal
- LA English
- RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L7 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Solution phase biopolymer synthesis of oligodeoxyribonucleotides using multifunctional liquid phase carriers
- AB Multifunctional liquid phase carriers (LPCs) and methods of using LPCs for the preparation of biopolymers are provided. The LPCs are highly sym. compds. that possess more than two points of attachment for biopolymer synthesis. The LPCs have the formula Sp(X1)n, where Sp is a highly sym. moiety such that all X1 groups are equivalent X1 is a functional group that is suitable for biopolymer synthesis, including OH, SH, NH2, COOH and the like. Biopolymers that may be produced using the methods provided include oligonucleotides, peptides, protein nucleic acids (PNAs) and oligosaccharides. Analogs of the biopolymers may also be prepared using the methods. Thus decamer d(GACCGGCAGT) was prepared using multifunctional liquid phase carriers.
- AN 1999:708779 CAPLUS <<LOGINID::20061101>>
- DN 131:351620
- TI Solution phase biopolymer synthesis of oligodeoxyribonucleotides using multifunctional liquid phase carriers
- IN Koster, Hubert; Worl, Ralf
- PA USA
- SO PCT Int. Appl., 88 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

ΡI	WO	0 9955718				A2 19991104			WO 1999-US8939						19990426				
	WO	9955718			A3 199912			1216											
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			ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	
			CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
	US	S 7094943			A1	A1 20020207			US 1998-67337						19980427				
	US				B2	A1 19991116													
	ΑU				A1				AU 1999-36643						19990426				
	ΕP				A2]	EP 1999-918819					19990426				
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FΙ,	RO											
	US	2002007048			A1	2	2002	0117	Į	JS 20	000-4	18448	34		20	0000	118		
	US	7038103			B2	20060502													
PRAI		1998-67337																	
	WO	1999-US8939			W		19990	0426											
										•									

L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

TI Synthesis of new liquid phase carriers for use in large scale oligodeoxyribonucleotide synthesis in solution GI

$$CO-NH-CH_2-CH_2-NH_2$$
 $H_2N-CH_2-CH_2-NH-CO$
 $CO-NH-CH_2-CH_2-NH_2$

The synthesis of multifunctional sym. primary amines, e.g. I, and the covalent binding of 5'-O-dimethoxytrityl-deoxynucleoside derivs. to their amino groups is described. Different strategies for dedimethoxytritylation including the use of strong acidic ion exchangers or protic acids and modified silica gels and/or gel permeation chromatog. are developed. The resulting liquid phase carriers are suitable for large scale oligodeoxyribonucleotide synthesis in solution using phosphoramidites and gel permeation chromatog. for fast isolation of intermediates.

AN 1999:176579 CAPLUS <<LOGINID::20061101>>

DN 130:267701

TI Synthesis of new liquid phase carriers for use in large scale oligodeoxyribonucleotide synthesis in solution

AU Worl, Ralf; Koster, Hubert

CS Faculty of Chemistry, Department of Biochemistry and Molecular Biology, University of Hamburg, Hamburg, D-20146, Germany

SO Tetrahedron (1999), 55(10), 2941-2956 CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- => s (cluster(w)glycoside) and galactosamine
 - 2 FILE CAPLUS
 - 1 FILE ESBIOBASE
 - 6 FILE GENBANK
 - 35 FILES SEARCHED...
 - 1 FILE SCISEARCH
 - 5 FILE USPATFULL
 - 2 FILE USPAT2
 - 6 FILES HAVE ONE OR MORE ANSWERS, 68 FILES SEARCHED IN STNINDEX
- L9 QUE (CLUSTER (W) GLYCOSIDE) AND GALACTOSAMINE

=> file uspatfull

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
1.22 366.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
CA SUBSCRIBER PRICE

0.00
-3.75

FILE 'USPATFULL' ENTERED AT 17:21:37 ON 01 NOV 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 31 Oct 2006 (20061031/PD)
FILE LAST UPDATED: 31 Oct 2006 (20061031/ED)
HIGHEST GRANTED PATENT NUMBER: US7131145
HIGHEST APPLICATION PUBLICATION NUMBER: US2006242744
CA INDEXING IS CURRENT THROUGH 31 Oct 2006 (20061031/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 31 Oct 2006 (20061031/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

- => s (cluster(w)glycoside) and galactosamine
 - 70448 CLUSTER
 - 9592 GLYCOSIDE
 - 8 CLUSTER (W) GLYCOSIDE
 - 4061 GALACTOSAMINE
- L10 5 (CLUSTER (W) GLYCOSIDE) AND GALACTOSAMINE
- => d l10 1-5 ti
- L10 ANSWER 1 OF 5 USPATFULL on STN
- TI OLIGOMERS CONTAINING N-ACETYL GLUCOSAMINE (NAG)
- L10 ANSWER 2 OF 5 USPATFULL on STN
- TI Block copolymers and preparation thereof
- L10 ANSWER 3 OF 5 USPATFULL on STN
- TI Method of immobilization of clusters of ligands on polymer surface and use in cell engineering
- L10 ANSWER 4 OF 5 USPATFULL on STN
- TI Polymerizable monomers and process of preparation thereof
- L10 ANSWER 5 OF 5 USPATFULL on STN
- TI Triantennary cluster glycosides, their preparation and use
- => d 110 1 4 5 ti abs bib
- L10 ANSWER 1 OF 5 USPATFULL on STN

OLIGOMERS CONTAINING N-ACETYL GLUCOSAMINE (NAG)

Functional polyvalent oligomer for applications in medicine and biotechnology are disclosed. These oligomers have the formula (1) ##STR1## wherein R is H, CH.sub.3, C.sub.2H.sub.5, R1, is H, NH2, OH, COOH, X is N-Acetyl Glucosamine mannose, galactose and sialic acid, fructose, ribulose, erythrolose, xylulose, psicose, sorbose, tagatose, glucopyranose, fructose, deoxyribose, galactosamine, sucrose, lactose, isomaltose, maltos, cellobiose, cellulose and amylose, Y is H, COOH, OH or NH2, and n is from 3 to 50. The present invention also relates to synthesis of such oligomeric ligands. The method of synthesis of the present invention for oligomerization can be--applied to other ligands such as sialic acid, mannose and galactose and can--be used for the prevention of infections.

```
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN
       2005:255828 USPATFULL
       OLIGOMERS CONTAINING N-ACETYL GLUCOSAMINE (NAG)
TI
IN
       Kulkarni, Mohan Gopalkrishna, Pune, INDIA
       Khandare, Jayant Jagannath, Pune, INDIA
PA
       Council of Scientific and Industrial Research (non-U.S. corporation)
PΙ
       US 2005222326
                          A1
                               20051006
       US 6977285
                          B2
                               20051220
ΑI
       US 2004-812838
                          A1
                               20040330 (10)
DT
       Utility
FS
       APPLICATION
LREP
       LADAS & PARRY, 26 WEST 61ST STREET, NEW YORK, NY, 10023, US
CLMN
       Number of Claims: 14
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 669
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

L10 ANSWER 4 OF 5 USPATFULL on STN

TI Polymerizable monomers and process of preparation thereof
The present invention relates to polymerizable monomers for applications in medicine and biotechnology and synthesis thereof. The polymerizable ligands containing NAcetyl Glucosamine bind more strongly to lysozyme than NAG itself. The binding is further enhanced when a spacer arm, for example 6-Amino Caproic Acid (6-ACA) is introduced in the structure. The conjugated ligands could be used for prevention and treatment of bacterial and viral infections Moreover these ligands can be coupled to stimuli sensitive polymers and used for the recovery of biomolecules The methodology can be extended to other ligands such as sialic acid and the corresponding polymers used for preventillg influenza and lor rotavirus infections

```
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN
       2004:248302 USPATFULL
TT
       Polymerizable monomers and process of preparation thereof
TN
       Kulkarni, Mohan Gopalkrishna, Maharashtra, INDIA
       Khandare, Jayant Jagannath, Maharashtra, INDIA
PT
       US 2004192905
                          A1
                                20040930
AΙ
       US 2003-402256
                          A1
                                20030331 (10)
DT
       Utility
FS
       APPLICATION
LREP
       NIXON & VANDERHYE, PC, 1100 N GLEBE ROAD, 8TH FLOOR, ARLINGTON, VA.
       22201-4714
CLMN
       Number of Claims: 22
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 703
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

L10 ANSWER 5 OF 5 USPATFULL on STN

TI Triantennary cluster glycosides, their preparation and use

AB Triantennary cluster glycoside, wherein each glycoside residue is attached to the branching point of the cluster by a spacer of a long, flexible, hydrophilic chain comprising at least 4 atoms in the chain. The glyciside spacer preferably comprises at least two hydrophilic groups. Use of the triantennary cluster glycoside in pharmaceutical preparations, for instance hypolipidemic medicines.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1999:37084 USPATFULL

TI Triantennary cluster glycosides, their preparation and use

IN Biessen, Ericus Anna Leonardus, Leiden, Netherlands

van Berkel, Theodorus Josephus Cornelis, Haarlem, Netherlands

van Boom, Jacobus Hubertus, Voorschoten, Netherlands-

PA Rijksuniversiteit te Leiden, AV Leiden, Netherlands (non-U.S.

corporation)

Nederlandse Hartstichting, The Hague, Netherlands (non-U.S. corporation)

PI US 5885968 19990323

WO 9404545 19940303

AI US 1995-382022 19950504 (8)

WO 1993-NL169 19930811

19950504 PCT 371 date 19950504 PCT 102(e) date

PRAI NL 1992-1440 19920811

DT Utility

FS Granted

EXNAM Primary Examiner: Peselev, Elli

LREP Hoffmann & Baron, LLP CLMN Number of Claims: 23

ECL Exemplary Claim: 1,16

DRWN 21 Drawing Figure(s); 16 Drawing Page(s)

LN.CNT 1210

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> index bioscience

FILE 'DRUGMONOG' ACCESS NOT AUTHORIZED COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
8.86 375.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

FULL ESTIMATED COST

0.00 -3.75

INDEX 'ADISCTI, ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, ANTE, AQUALINE, AQUASCI, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CAPLUS, CEABA-VTB, CIN, CONFSCI, CROPB, CROPU, DDFB, DDFU, DGENE, DISSABS, DRUGB, DRUGMONOG2, DRUGU, EMBAL, EMBASE, ...' ENTERED AT 17:22:41 ON 01 NOV 2006

68 FILES IN THE FILE LIST IN STNINDEX

Enter SET DETAIL ON to see search term postings or to view search error messages that display as 0* with SET DETAIL OFF.

- => s (cluster(w)glycoside) and (N-acetylgalactosamine)
 - 4 FILE BIOSIS
 - 1 FILE BIOTECHNO
 - 7 FILE CAPLUS
 - 1 FILE DDFU
 - 1 FILE DRUGU
 - 4 FILE EMBASE
 - 4 FILE ESBIOBASE

- 30 FILES SEARCHED...
 - 3 FILE GENBANK
 - 4 FILE MEDLINE
 - 1 FILE PASCAL
 - 7 FILE SCISEARCH
 - 1 FILE USPATFULL
 - 1 FILE USPAT2
- 66 FILES SEARCHED...
- 13 FILES HAVE ONE OR MORE ANSWERS, 68 FILES SEARCHED IN STNINDEX
- L11 QUE (CLUSTER(W) GLYCOSIDE) AND (N-ACETYLGALACTOSAMINE)

=> file biosis caplus embase medline

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
1.22 377.02

DISCOUNT AMOUNTS (FOR OUALIFYING ACCOUNTS)

SINCE FILE TOTAL

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -3.75

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FILE 'MEDLINE' ENTERED AT 17:23:49 ON 01 NOV 2006

=> dup rem 112 PROCESSING COMPLETED FOR L12 L13 7 DUP REM L12 (12 DUPLICATES REMOVED)

- => d l13 1-7 ti
- L13 ANSWER 1 OF 7 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 1
- TI Design and synthesis of novel N-acetylgalactosamine -terminated glycolipids for targeting of lipoproteins to the hepatic asialoglycoprotein receptor.
- L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Ligands of the asialoglycoprotein receptor for targeted gene delivery, part 1: Synthesis of and binding studies with biotinylated cluster glycosides containing N-acetylgalactosamine
- L13 ANSWER 3 OF 7 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 2
- TI Determination of the upper size limit for uptake and processing of ligands by the asialoglycoprotein receptor on hepatocytes in vitro and in vivo.
- L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3
- TI Facile solid-phase synthesis of YEE(ah-GalNAc)3, a ligand with known high affinity for the asialoglycoprotein receptor

- L13 ANSWER 5 OF 7 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 4
- TI Facile synthesis of a high-affinity ligand for mammalian hepatic lectin containing three terminal N-acetylgalactosamine residues.
- L13 ANSWER 6 OF 7 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 5
- TI Stepwise synthesis of a GalNAc-containing cluster glycoside ligand of the asialoglycoprotein receptor.
- L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Binding and endocytosis of cluster glycosides by rabbit hepatocytes. Evidence for a short-circuit pathway that does not lead to degradation

=> d 113 1 2 3 5 ti abs bib

- L13 ANSWER 1 OF 7 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 1
- TI Design and synthesis of novel N-acetylgalactosamine -terminated glycolipids for targeting of lipoproteins to the hepatic asialoglycoprotein receptor.
- AB A novel glycolipid has been prepared that contains a cluster glycoside with an unusually high affinity for the asialoglycoprotein receptor (ASGPr) and a bile acid moiety that mediates stable incorporation into lipidic particles. The glycolipid spontaneously associated with low-density lipoproteins (LDL) and high-density lipoproteins (HDL) within human and murine plasma, and loading of lipoproteins with this glycolipid resulted in an efficient dose-dependent recognition and uptake of LDL and HDL by the liver (and not by spleen) upon intravenous injection into wild-type mice. Preinjection with asialoorosomucoid largely inhibited the uptake, establishing that both HDL and LDL were selectively recognized and processed by the ASGPr on liver parenchymal cells. Finally, repeated intravenous administration of the glycolipid to hyperlipidemic LDL receptor-deficient mice evoked an efficient and persistent cholesterol-lowering effect. These results indicate that the glycolipid may be a promising alternative for the treatment of hyperlipidemic patients who do not respond sufficiently to current cholesterol-lowering therapies.
- AN 2005:63481 BIOSIS
- DN PREV200500062274
- TI Design and synthesis of novel N-acetylgalactosamine -terminated glycolipids for targeting of lipoproteins to the hepatic asialoglycoprotein receptor.
- AU Rensen, Patrick C. N. [Reprint Author]; van Leeuwen, Steven H.; Sliedregt, Leo A. J. M.; Van Berkel, Theo J. C.; Biessen, Erik A. L.
- CS Dept Gen Internal Med, LUMC, POB 2215, NL-2301 CE, Leiden, Netherlands pcn.rensen@pg.tno.nl
- SO Journal of Medicinal Chemistry, (November 4 2004) Vol. 47, No. 23, pp. 5798-5808. print.

 ISSN: 0022-2623 (ISSN print).
- DT Article
- LA English
- ED Entered STN: 9 Feb 2005 Last Updated on STN: 9 Feb 2005
- L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Ligands of the asialoglycoprotein receptor for targeted gene delivery, part 1: Synthesis of and binding studies with biotinylated cluster glycosides containing N-acetylgalactosamine
- AB In order to develop the non-viral Bioplex vector system for targeted delivery of genes to hepatocytes, we have evaluated the structure-function relationship for a number of synthetic ligands designed for specific

interaction with the hepatic lectin ASGPr. Biotinylated ligand derivs. containing two, three or six beta-linked Nacetylgalactosamine (GalNAc) residues were synthesized, bound to fluorescent-labeled streptavidin and tested for binding and uptake to HepG2 cells using flow cytometry anal. (FACS). Uptake efficiency increased with number of displayed GalNAc units per ligand, in a receptor dependent manner. Thus, a derivative displaying six GalNAc units showed the highest uptake efficacy both in terms of number of internalizing cells and increased amount of material taken up per each cell. However, this higher efficiency was shown to be due not so much to higher number of sugar units, but to higher accessibility of the sugar units for interaction with the receptor (longer spacer). Improving the flexibility and accessibility of a trimeric GalNAc ligand through use of a longer spacer markedly influenced the uptake efficiency, while increasing the number of GalNAc units per ligand above three only provided a minor contribution to the overall affinity. We hereby report the details of the chemical synthesis of the ligands and the structure-function studies in vitro.

- AN 2004:840783 CAPLUS
- DN 143:153598
- TI Ligands of the asialoglycoprotein receptor for targeted gene delivery, part 1: Synthesis of and binding studies with biotinylated cluster glycosides containing N-acetylgalactosamine
- AU Westerlind, Ulrika; Westman, Jacob; Toernquist, Elisabeth; Smith, C. I. Edvard; Oscarson, Stefan; Lahmann, Martina; Norberg, Thomas
- CS Department of Chemistry, Swedish University of Agricultural Sciences, Uppsala, S-750 07, Swed.
- SO Glycoconjugate Journal (2004), 21(5), 227-241 CODEN: GLJOEW; ISSN: 0282-0080
- PB Kluwer Academic Publishers
- DT Journal
- LA English
- OS CASREACT 143:153598
- RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L13 ANSWER 3 OF 7 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 2
- Determination of the upper size limit for uptake and processing of ligands by the asialoglycoprotein receptor on hepatocytes in vitro and in vivo.
- AB The asialoglycoprotein receptor (ASGPr) on hepatocytes plays a role in the clearance of desialylated proteins from the serum. Although its sugar preference (N-acetylgalactosamine

(GaINAc) mchgtgalactose) and the effects of ligand valency (tetraantennary>triantennarymchgtdiantennarymchgtmonoantennary) and sugar spacing (20 ANGmchgt10 ANGmchgt4 ANG) are well documented, the effect of particle size on recognition and uptake of ligands by the receptor is poorly defined. In the present study, we assessed the maximum ligand size that still allows effective processing by the ASGPr of mouse hepatocytes in vivo and in vitro. Hereto, we synthesized a novel glycolipid, which possesses a highly hydrophobic steroid moiety for stable incorporation into liposomes, and a triantennary GaINAc3-terminated cluster glycoside with a high nanomolar affinity (2 nM) for the ASGPr. Incorporation of the glycolipid into small (30 nm) (3H) cholesteryl oleate-labeled long circulating liposomes (1-50%, w/w) caused a concentration-dependent increase in particle clearance that was liver-specific (reaching 85+-7% of the injected dose at 30 min after injection) and mediated by the ASGPr on hepatocytes, as shown by competition studies with asialoorosomucoid in vivo. By using glycolipid-laden liposomes of various sizes between 30 and 90 nm, it was demonstrated that particles with a diameter of >70 nm could no longer be recognized and processed by the ASGPr in vivo. This threshold size for effective uptake was not related to the physical barrier raised by the fenestrated sinusoidal endothelium, which shields hepatocytes from the circulation, because similar results were obtained by studying the uptake

of liposomes on isolated mouse hepatocytes in vitro. From these data we conclude that in addition to the species, valency, and orientation of sugar residues, size is also an important determinant for effective recognition and processing of substrates by the ASGPr. Therefore, these data have important implications for the design of ASGPr-specific carriers that are aimed at hepatocyte-directed delivery of drugs and genes.

- AN 2001:514003 BIOSIS
- DN PREV200100514003
- TI Determination of the upper size limit for uptake and processing of ligands by the asialoglycoprotein receptor on hepatocytes in vitro and in vivo.
- AU Rensen, Patrick C. N. [Reprint author]; Sliedregt, Leo A. J. M.; Ferns, Michiel; Kieviet, Erwin; van Rossenberg, Sabine M. W.; van Leeuwen, Steven H.; van Berkel, Theo J. C.; Biessen, Erik A. L.
- CS Div. of Biopharmaceutics, Leiden/Amsterdam Center for Drug Research, Sylvius Laboratory, University of Leiden, 2300 RA, Leiden, Netherlands p.rensen@lacdr.leidenuniv.nl
- SO Journal of Biological Chemistry, (October 5, 2001) Vol. 276, No. 40, pp. 37577-37584. print.

 CODEN: JBCHA3. ISSN: 0021-9258.
- DT Article
- LA English
- ED Entered STN: 7 Nov 2001 Last Updated on STN: 23 Feb 2002
- L13 ANSWER 5 OF 7 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN DUPLICATE 4
- TI Facile synthesis of a high-affinity ligand for mammalian hepatic lectin containing three terminal N-acetylgalactosamine residues.
- AB A simple cluster glycoside containing three residues of N-acetylgalactosamine with proper inter-residual distances can be a high-affinity ligand for asialoglycoprotein receptor of mammalian liver. YEE(ahGalNAc)-3 (Lee, R. T., and Lee, Y. C. (1987) Glycoconjugate J. 4, 317-328) is such a ligand having a K-d in the subnanomolar range, and this high-affinity ligand has been successfully utilized in the delivery of gene to the parenchymal cells of the liver (Merwin, J. R., Noell, G. S., Thomas, W. L., Chiou, H. C., DeRome, M. E., McKee, T. D., Spitalny, G. L., and Findeis, M. A. (1994) Bioconjugate Chemical 5, 612-620; Hangeland, J. J., Levis, J. T., Lee, Y. C., and Ts'o, P. O. P. (1995) Bioconjugate Chemical 6, 695-701). Reported here is a synthetic procedure for an equally effective, homologous trivalent ligand, YDD(G-ah-GalNAc)-3. The advantage offered by this new cluster glycoside is that the synthetic scheme accomplishes purification of reaction intermediates and the product without chromatographic separations. This greatly simplifies the procedure and allows scale-up of the operation at reduced cost of production.
- AN 1997:482611 BIOSIS
- DN PREV199799781814
- TI Facile synthesis of a high-affinity ligand for mammalian hepatic lectin containing three terminal N-acetylgalactosamine residues.
- AU Lee, Reiko T.; Lee, Yuan C. [Reprint author]
- CS Dep. Biol., Johns Hopkins Univ., Baltimore, MD 21218, USA
- SO Bioconjugate Chemistry, (1997) Vol. 8, No. 5, pp. 762-765. CODEN: BCCHES. ISSN: 1043-1802.
- DT Article
- LA English
- ED Entered STN: 7 Nov 1997 Last Updated on STN: 7 Nov 1997